

## INFORMATION DISCLOSURE CITATION

(Use several sheets if necessary)

ATTY. DOCKET NO.  
LA0093 NP  
APPLICATION NO.  
10/712,823  
APPLICANT  
SHER ET AL.  
FILING DATE  
NOVEMBER 13, 2003

Group

## U.S. PATENT DOCUMENTS

EXAMINER INITIAL		DOCUMENT NUMBER	DATE	NAME	CLASS	SUBCLASS	FILING DATE
	AA	3,674,836	7/4/72	Creger			
	AB	3,983,140	9/28/76	Endo et al.			
	AC	4,027,009	5/31/77	Grier et al.			
	AD	4,231,938	11/4/80	Monaghan et al.			
	AE	4,346,227	8/24/82	Terahara et al.			
	AF	4,448,784	5/15/84	Glamkowski et al.			
	AG	4,450,171	5/22/84	Hoffman et al.			
	AH	4,499,289	2/12/85	Baran et al.			
	AI	4,512,988	4/23/85	Weller, III et al.			
	AJ	4,613,610	9/23/86	Wareing			
	AK	4,647,576	3/3/87	Hoefle et al.			
	AL	4,681,893	7/21/87	Roth			

## FOREIGN PATENT DOCUMENTS

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	AM	EP 0 107 095	9/9/87	EP			<input type="checkbox"/>	<input type="checkbox"/>
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	AO	EP 0 160 546	11/6/85	EP			<input type="checkbox"/>	<input type="checkbox"/>
	AP	EP 0 221 025	5/6/87	EP			<input type="checkbox"/>	<input type="checkbox"/>
	AQ	EP 0 416 740	3/13/91	EP			<input type="checkbox"/>	<input type="checkbox"/>

## OTHER DOCUMENTS (Including Author, Title, Date, Pertinent pages, Etc.)

	AR	Albright, J.D. et al., "Synthesis of 1,4,5,6-Tetrahydropyrazolo[3,4-d]pyrido[3,2-b]azepine", J. Heterocyclic Chem., Vol. 37, pp. 41-46 (2000)
	AS	Aranyos, A. et al., "Novel Electron-Rich Bulky Phosphine Ligands Facilitate the Palladium-Catalyzed Preparation of Diaryl Ethers", J. Am. Chem. Soc., Vol. 121, No. 18, pp. 4369-4378 (1999)
	AT	Arbeeny, C. et al., "The Metabolic Syndrome: From Pathophysiology to Novel Treatment Strategies", Curr. Med. Chem. - Imm., Endoc. & Metab Agents., Vol. 1, No. 1, pp. 1-24 (2001)

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







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


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EXAMINER INITIAL		DOCUMENT NUMBER	DATE	NAME	CLASS	SUBCLASS	FILING DATE
	2AA	4,686,237	8/11/87	Anderson			
	2AB	4,692,522	9/8/87	Parsons et al.			
	2AC	4,755,509	7/5/88	Teulon			
	2AD	4,871,721	10/3/89	Billar			
	2AE	4,924,024	5/8/90	Billar			
	2AF	5,006,530	4/9/91	Angerbauer et al.			
	2AG	5,011,930	4/30/91	Fujikawa et al.			
	2AH	5,177,080	1/5/93	Angerbauer et al.			
	2AI	5,206,235	4/27/93	Fisher et al.			
	2AJ	5,260,440	11/9/93	Hirai et al.			
	2AK	5,273,995	12/28/93	Roth			
	2AL	5,354,772	10/11/94	Kathawala			

## FOREIGN PATENT DOCUMENTS

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	2AM	EP 0 978 279	2/9/00	EP			<input type="checkbox"/>	<input type="checkbox"/>
	2AN	EP 1 088 824	1/7/04	EP			<input type="checkbox"/>	<input type="checkbox"/>
	2AO	2 596 393	10/2/87	FR			<input type="checkbox"/>	<input type="checkbox"/>
	2AP	JP 2000-256318	9/19/00	JP			<input type="checkbox"/>	<input type="checkbox"/>
	2AQ	GB 2 205 837	12/21/88	UK			<input type="checkbox"/>	<input type="checkbox"/>

## OTHER DOCUMENTS (Including Author, Title, Date, Pertinent pages, Etc.)

	2AR	Armstrong, III, J.D. et al., "An Efficient Asymmetric Synthesis of (R)-3-Amino-2,3,4,5-tetrahydro-1H-[1]benzazepin-2-one", Tetrahedron Letters, Vol. 35, No. 20, pp. 3239-3242 (1994)
	2AS	Ashworth, D.M. et al., "2-Cyanopyrrolidides as Potent, Stable Inhibitors of Dipeptidyl Peptidase IV", Bioorganic & Medicinal Chemistry Letters, Vol. 6, No. 10, pp. 1163-1166 (1996)
	2AT	Ashworth, D.M. et al., "4-Cyanothiazolidides as Very Potent, Stable Inhibitors of Dipeptidyl Peptidase IV", Bioorganic & Medicinal Chemistry Letters, Vol. 6, No. 22, pp. 2745-2748 (1996)

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	3AA	5,385,929	1/31/95	Bjorge et al.			
	3AB	5,488,064	1/30/96	Sher			
	3AC	5,491,134	2/13/96	Sher et al.			
	3AD	5,506,219	4/9/96	Robl			
	3AE	5,541,204	7/30/96	Sher et al.			
	3AF	5,545,735	8/13/96	Bochis et al.			
	3AG	5,552,397	9/3/96	Karanewsky et al.			
	3AH	5,594,006	1/14/97	Sakamoto et al.			
	3AI	5,595,872	1/21/97	Wetterau, II et al.			
	3AJ	5,612,359	3/18/97	Murugesan			
	3AK	5,614,492	3/25/97	Habener			
	3AL	5,652,363	7/29/97	Khanna et al.			

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							YES	NO
	3AM	WO 86/03488	6/19/86	PCT			<input type="checkbox"/>	<input type="checkbox"/>
	3AN	WO 86/07054	12/4/86	PCT			<input type="checkbox"/>	<input type="checkbox"/>
	3AO	WO 93/14067	7/22/93	PCT			<input type="checkbox"/>	<input type="checkbox"/>
	3AP	WO 96/36596	11/21/96	PCT			<input type="checkbox"/>	<input type="checkbox"/>
	3AQ	WO 96/38144	12/5/96	PCT			<input type="checkbox"/>	<input type="checkbox"/>

## OTHER DOCUMENTS (Including Author, Title, Date, Pertinent pages, Etc.)

	3AR	Ball, J.B. et al., "Synthesis and Conformational Analyses of Some 3-Amino-2,5-dioxo-2,3,4,5-tetrahydro-1H-1-benzazepine Derivatives: X-Ray Crystal Structure of 3S-3-[[[(1,1-Dimethylethoxy)carbonyl]amino]-2,5-dioxo-2,3,4,5-tetrahydro-1H-1-benzazepine", J. Heterocyclic Chem. Vol. 27, pp. 279-286 (1990)
	3AS	Bebornitz, G.R. et al., "Reduction in Glucose Levels in STZ Diabetic Rats by 4-(2,2-Dimethyl-1-oxopropyl)benzoic Acid: A Prodrug Approach for Targeting the Liver", J. Med. Chem. Vol. 44, No. 4, pp. 512-523 (2001)
	3AT	Bell, I.M. et al., "Development of Orally Active Oxytocin Antagonists: Studies on 1-(1-{4-[1-(2-Methyl-1-oxidopyridin-3-ylmethyl)piperidin-4-yloxy]-2-methoxybenzoyl}piperidin-4-yl)-1,4-dihydrobenz[d][1,3]oxazin-2-one (L-372,662) and Related Pyridines", J. Med. Chem., Vol. 41, No. 12, pp. 2146-2163 (1998)

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	4AA	5,686,104	11/11/97	Mills et al.			
	4AB	5,691,322	11/25/97	Robl			
	4AC	5,712,279	1/27/98	Biller et al.			
	4AD	5,712,396	1/27/98	Magnin et al.			
	4AE	5,719,278	2/17/98	Albright et al.			
	4AF	5,739,135	4/14/98	Biller et al.			
	4AG	5,753,675	5/19/98	Wattanasin			
	4AH	5,760,246	6/2/98	Biller et al.			
	4AI	5,770,615	6/23/98	Cheng et al.			
	4AJ	5,776,983	7/7/98	Washburn et al.			
	4AK	5,789,587	8/4/98	Fisher et al.			
	4AL	5,827,875	10/27/98	Dickson, Jr. et al.			

## FOREIGN PATENT DOCUMENTS

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	4AM	WO 96/39384	12/12/96	PCT		<input type="checkbox"/>	<input type="checkbox"/>
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	4AO	WO 97/12613	4/10/97	PCT		<input type="checkbox"/>	<input type="checkbox"/>
	4AP	WO 97/12615	4/10/97	PCT		<input type="checkbox"/>	<input type="checkbox"/>
	4AQ	WO 97/21993	6/19/97	PCT		<input type="checkbox"/>	<input type="checkbox"/>

## OTHER DOCUMENTS (Including Author, Title, Date, Pertinent pages, Etc.)

	4AR	Berg-Nielsen, K. et al., "Amino-Claisen Rearrangement of Vinyl Propargylamines and Pyrindane Synthesis from a Divinyl Ketone", Acta Chemica Scandinavica B, Vol. 32, pp. 553-556 (1978)
	4AS	Biller, S.A. et al., "Isoprenoid (Phosphinylmethyl)phosphonates as Inhibitors of Squalene Synthetase", Journal of Medicinal Chemistry, Vol. 31, No. 10, pp. 1869-1871 (1988)
	4AT	Biller, S.A. et al., "Squalene Synthase Inhibitors", Current Pharmaceutical Design, Vol. 2, No. 1, pp. 1-40 (1996)

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	5AA	5,849,918	12/15/98	Esser et al.			
	5AB	5,885,983	3/23/99	Biller et al.			
	5AC	5,962,440	10/5/99	Sulsky			
	5AD	6,017,926	1/25/00	Askew et al.			
	5AE	6,043,265	3/28/00	Murugesan et al.			
	5AF	6,107,329	8/22/00	Hoover et al.			
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	5AN	WO 99/00353	1/7/99	PCT			<input type="checkbox"/>	<input type="checkbox"/>
	5AO	WO 99/26659	6/3/99	PCT			<input type="checkbox"/>	<input type="checkbox"/>
	5AP	WO 99/38501	8/5/99	PCT			<input type="checkbox"/>	<input type="checkbox"/>
	5AQ	WO 99/46272	9/16/99	PCT			<input type="checkbox"/>	<input type="checkbox"/>

## OTHER DOCUMENTS (Including Author, Title, Date, Pertinent pages, Etc.)

	5AR	Bundgaard, H., Chapter 5: "Design and Application of Prodrugs", A Textbook of Drug Design and Development, Harwood Academic Publishers, publ., Krogsgaard-Larsen, P. et al., eds., pp. 113-191 (1991)
	5AS	Bundgaard, H., ed., Design of Prodrugs, Elsevier Science Publishers B.V., publ. (1985) (table of contents)
	5AT	Caprathe, B.W. et al., "Dopamine Autoreceptor Agonists as Potential Antipsychotics. 3. 6-Propyl-4,5,5a,6,7,8-hexahydrothiazolo[4,5-f]quinolin-2-amine", J. Med. Chem., Vol. 34, No. 9, pp. 2736-2746 (1991)

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





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7AA	Capson, T.L., "Synthesis and Evaluation of Ammonium Analogs of Carbocationic Intermediates in Squalene Biosynthesis", dissertation, Department of Medicinal Chemistry, University of Utah, pp. iv-v, Table of Contents, 16-17, 40-43, 48-51, Summary (June 1987)
7AB	Carling, R.W. et al., "3-Nitro-3,4-dihydro-2(1H)-quinolines. Excitatory Amino Acid Antagonists Acting at Glycine-Site NMDA and (RS)- $\alpha$ -Amino-3-hydroxy-5-methyl-4-isoxazolepropionic Acid Receptors", J. Med. Chem., Vol. 36, No. 22, pp. 3397-3408 (1993)
7AC	Casimir, J.R. et al., "Efficient Synthesis of (S)-4-Phthalimido-1,3,4,5-tetrahydro-8-(2,6-dichlorobenzoyloxy)-3-oxo-2H-2-benzazepin-2-acetic Acid (Pht-Hba(2,6-Cl <sub>2</sub> -Bn)-Gly-OH)", J. Org. Chem. Vol. 65, No. 20, pp. 6487-6492 (2000)
7AD	Corey, E.J. et al., "Application of Unreactive Analogs of Terpenoid Pyrophosphates to Studies of Multistep Biosynthesis. Demonstration That 'Presqualene Pyrophosphate' Is an Essential Intermediate on the Path to Squalene", J. Am. Chem. Soc., Vol. 98, No. 5, pp. 1291-1293 (1976)
7AE	Cornicelli, J.A. et al., "15-Lipoxygenase and Its Inhibition: A Novel Therapeutic Target for Vascular Disease", Current Pharmaceutical Design, Vol. 5, No. 1, pp. 11-20 (1999)
7AF	Davis, A.L. et al., "Preparation and Antimicrobial Properties of the D and L Forms of 3-Amino-3,4-dihydro-1-hydroxycarbostyryl", Journal of Medicinal Chemistry, Vol. 15, No. 3, pp. 325-327 (1972)
7AG	Davis, A.L. et al., "Synthesis of the 3-Methyl and 4-Methyl Derivatives of 3-Amino-3,4-dihydro-1-hydroxycarbostyryl and Related Compounds", J. Heterocyclic Chem., Vol. 17, pp. 1405-1408 (1980)
7AH	Davis, A.L. et al., "The Syntheses and Biological Activities of o-Aminophenylalanine and Related Compounds", Archives of Biochemistry and Biophysics, Vol. 102, pp. 48-51 (1963)
7AI	DeVita, R.J. et al., "Heterocyclic Analogs of the Benzolactam Nucleus of the Non-Peptidic Growth Hormone Secretagogue L-692,429", Bioorganic & Medicinal Chemistry Letters, Vol. 5, No. 12, pp. 1281-1286 (1995)
7AJ	El-Subbagh, H.I. et al., "Synthesis and Antitumor Activity of Some New Substituted Quinolin-4-one and 1,7-Naphthyridin-4-one Analogs", Arch. Pharm. Pharm. Med. Chem., Vol. 322, pp. 19-24 (1999)
7AK	Epszajn, J. et al., "Applications of Organolithium and Related Reagents in Synthesis. Part 3. A General Study of the Reaction of Lithium Alkyls with Pyridine Ketones", J. Chem. Soc. Perkin Trans. I, pp. 213-219 (1985)
7AL	Ferraris, D. et al., "Catalytic, Enantioselective Alkylation of $\alpha$ -Imino Esters: The Synthesis of Nonnatural $\alpha$ -Amino Acid Derivatives", J. Am. Chem. Soc., Vol. 124, No. 1, pp. 67-77 (2002)
7AM	Flynn, G.A. et al., "An Acyliminium Ion Route to Cis and Trans "Anti" Phe-Gly Dipeptide Mimetics", Bioorganic & Medicinal Chemistry Letters, Vol. 1, No. 6, pp. 309-312 (1991)
7AN	Ford, E.S. et al., "Prevalence of the Metabolic Syndrome Among US Adults", J. Am. Med. Assoc., Vol. 287, No. 3, pp. 356-359 (2002)

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✓	8AA	Fu, Y. et al., "Sterically Hindered C <sup>α</sup> -Disubstituted α-Amino Acids: Synthesis from α-Nitroacetate and Incorporation into Peptides", J. Org. Chem., Vol. 66, No. 21, pp. 7118-7124 (2001)
✓	8AB	Fujita, M. et al., "A Novel, Convenient Synthesis of 2-Aryl-3-oxo-3,4-dihydro-2H-1,4-benzothiazines", Synthesis, pp. 599-604 (1988)
✓	8AC	Ghiselli, G., "The Pharmacological Profile of FCE 27677: A Novel ACAT Inhibitor with Potent Hypolipidemic Activity Mediated by Selective Suppression of the Hepatic Secretion of ApoB-100-Containing Lipoprotein", Cardiovascular Drug Reviews, Vol. 16, No. 1, pp. 16-30 (1998)
✓	8AD	Greene, T.W. et al., Protective Groups in Organic Synthesis, Second Edition, John Wiley & Sons, Inc., publ., pp. ix-x (table of contents) (1991)
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